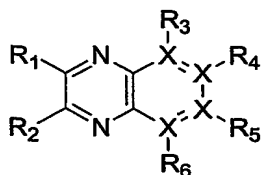


Claims

What is claimed is:

- 5 1. A compound of Formula (I):



Formula I

wherein,

X is N or C;

R₁ and R₂ each are independently is

- 1) hydrogen;
 10 2) alkyl;
 3) alkoxy;
 4) cycloalkyl;
 5) heterocyclyl;
 6) heterocyclalkyl;
 15 7) aryl;
 8) heteroaryl;
 9) aralkyl;
 10) heteroaralkyl; or
 11) -NH₂, -NHR₈, -NR₈R₈;

- 20 wherein both R₁ and R₂ are not hydrogen, R₈ is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl;

R₃, R₄, R₅, and R₆ each independently is

- 1) hydrogen, cyano, nitro, or halo;
 2) alkyl;
 3) alkenyl;

- 4) alkynyl;
- 5) alkoxy;
- 6) cycloalkyl or heterocyclyl;
- 7) cycloalkylalkyl or heterocyclalkyl;
- 8) aryl or heteroaryl;
- 9) aralkyl or heteroarylalkyl;
- 10) $-\text{SO}_2\text{R}_9$, $-\text{CO}_2\text{R}_9$, $-\text{SR}_9$, $-\text{SOR}_9$; or
- 11) $-\text{NH}_2$, $-\text{NHR}_9$, $-\text{NR}_9\text{R}_9$;

wherein R_9 is independently H, alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, $-\text{NH}_2$, $-\text{NH}(\text{C}_1\text{-C}_4)\text{alkyl}$, $-\text{N}[(\text{C}_1\text{-C}_4)\text{alkyl}]_2$, $-\text{SO}_2\text{alkyl}$, $-\text{SO}_2\text{aryl}$, alkylcarbonyl, alkoxy carbonyl, carbamoyl, urealyl, or carbamyl; and not including 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine, 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine-6-carboxylic acid (2-morpholin-4-yl-ethyl)-amide; or 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine-6-carboxylic acid (3-morpholin-4-yl-propyl)-amide.

2. The compound according to claim 1, wherein R_1 or R_2 are substituted with at least one R_8 , wherein R_8 is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl.

3. The compound according to claim 1, wherein R_1 and R_2 are taken together to form a substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl.

4. The compound according to claim 1, wherein R_3 , R_4 , R_5 , or R_6 are optionally substituted with R_9 , wherein R_9 is independently alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted

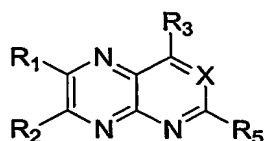
or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, -NH₂, -NH(C₁-C₄)alkyl, -N[(C₁-C₄)alkyl]₂, -SO₂alkyl, -SO₂aryl,

5 alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl.

5. The compound according to claim 1, wherein either R₃ and R₄, R₄ and R₅, or R₅ and R₆ are taken together to form a ring including cycloalkyl, heterocyclyl, aryl, heteroaryl.

10

6. A compound having the Formula II:



Formula II

wherein,

X is N or C-R₄;

R₁ and R₂ each independently is

15

- 1) C₁-C₈ alkyl;
- 2) C₁-C₈ alkoxy;
- 3) C₃-C₈ cycloalkyl;
- 4) C₃-C₈ heterocyclyl;
- 5) C₃-C₈ cycloalkylalkyl or heterocyclylalkyl;
- 6) C₅-C₁₀ aryl;
- 7) C₄-C₁₀ heteroaryl;
- 8) C₆-C₁₄ aralkyl;
- 9) C₅-C₁₄ heteroaralkyl; or
- 10) -NH₂, -NHR₈, or -NR₈R₈,

20

25

wherein R₈ is independently hydroxyl, halo, cyano, C₁-C₄ alkyl, C₁-C₄ alkoxy, cycloalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -NH₂, -NHR₁₂, or -NR₁₂R₁₂, wherein R₁₂ is alkyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl; and optionally, R₁ and R₂ may be taken together to form a substituted or unsubstituted C₅-C₈ cycloalkyl, C₄-C₈ heterocyclyl, C₅-C₈ aryl, or C₄-C₈ heteroaryl;

R₃ and R₅ each independently is

- 1) hydrogen, halo, cyano, or nitro;
- 2) C₁-C₈ alkyl;
- 3) C₂-C₈ alkenyl;
- 5 4) C₂-C₈ alkynyl;
- 5) C₁-C₈ alkoxy;
- 6) C₃-C₈ cycloalkyl or heterocyclyl;
- 7) C₄-C₈ cycloalkylalkyl or heterocyclalkyl;
- 8) C₃-C₁₀ aryl or heteroaryl;
- 10 9) C₆-C₁₄ aralkyl or heteroaralkyl; or
- 10) -CO₂R₉, -SR₉, -SOR₉, or -SO₂R₉;

wherein R₉ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₁-C₆ alkoxy, C₃-C₆ cycloalkyl, C₅-C₆ heterocyclyl, C₅-C₈ aryl, C₃-C₈ heteroaryl, C₆-C₁₀ aralkyl, or C₅-C₁₀ heteroaralkyl, and optionally, either R₃ and R₄, R₄ and R₅, or R₅ and R₆ are taken together
15 to form a ring including C₄-C₆ cycloalkyl, C₄-C₆ heterocyclyl, C₅-C₈ aryl, or C₃-C₈ heteroaryl; and

R₄ is

- 1) cyano, nitro, or halo;
- 2) C₁-C₈ alkyl;
- 20 3) C₂-C₈ alkenyl;
- 4) C₁-C₈ alkoxy;
- 5) C₃-C₈ cycloalkyl;
- 6) C₃-C₈ heterocyclyl;
- 7) C₅-C₈ aryl;
- 25 8) C₃-C₁₀ heteroaryl;
- 9) C₅-C₈ cycloalkylalkyl;
- 10) C₅-C₈ heterocyclalkyl;
- 11) C₆-C₈ aralkyl;
- 12) C₅-C₈ heteroaralkyl;
- 30 13) -CO₂R₁₀, -SR₁₀, -SOR₁₀, or -SO₂R₁₀; or
- 14) -NH₂, -NHR₁₀, or -NR₁₀R₁₀,

wherein R₁₀ is independently H, C₁-C₄ alkyl, C₂-C₄ alkenyl optionally substituted with R₁₃, C₁-C₄ alkoxy optionally substituted with R₁₃, C₄-C₆ heterocyclyl optionally substituted with R₁₃, C₄-C₁₀ heterocyclalkyl optionally substituted with R₁₃, C₇-C₈ aralkyl

optionally substituted with R₁₃, C₅-C₈ heteroaralkyl optionally substituted with R₁₃, NH₂, NHR₁₃, NR₁₃R₁₃, -SO₂R₁₃, C₁-C₅ carbomoyl optionally substituted with R₁₃, C₂-C₅ alkylcarbonyl optionally substituted with R₁₃, or C₂-C₅ alkoxy carbonyl optionally substituted with R₁₃, wherein R₁₃ is C₁-C₄ alkyl, C₁-C₄ alkoxy, C₆-C₁₀ aryl, C₅-C₈ heterocyclalkyl, -SO₂R₁₄, C₂-C₆ alkylcarbonyl optionally substituted with R₁₄, C₆-C₁₀ arylcarbonyl optionally substituted with R₁₄, carbamoyl, urealyl, or carbamyl, wherein R₁₄ is C₁-C₄ alkyl, C₁-C₄ alkoxy, aryl, arylcarbonyl, NH₂, NH[(C₁-C₄)alkyl], N[(C₁-C₄)alkyl]₂, alkylcarbonyl, alkoxy carbonyl, carbamoyl, urealyl, or carbamyl; and not including 2,3-dithiophen-2-yl-pyrido[2,3-b]pyrazine.

7. The compound according to claim 6, wherein R₁ or R₂ are substituted with at least one R₈, wherein R₈ is independently hydroxyl, halo, cyano, C₁-C₄ alkyl, C₁-C₄ alkoxy, cycloalkyl, heterocyclyl, heterocyclalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -NH₂, -NHR₁₂, or -NR₁₂R₁₂, wherein R₁₂ is alkyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl.

8. The compound according to claim 6, wherein R₁ and R₂ may be taken together to form a substituted or unsubstituted C₅-C₈ cycloalkyl, C₄-C₈ heterocyclyl, C₅-C₈ aryl, or C₄-C₈ heteroaryl.

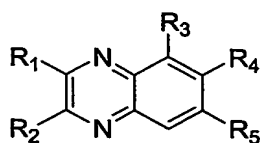
9. The compound according to claim 6, wherein R₃ or R₅ is substituted with at least one R₉, wherein R₉ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₁-C₆ alkoxy, C₃-C₆ cycloalkyl, C₅-C₆ heterocyclyl, C₅-C₈ aryl, C₃-C₈ heteroaryl, C₆-C₁₀ aralkyl, or C₅-C₁₀ heteroaralkyl.

10. The compound according to claim 6, wherein either R₃ and R₄, R₄ and R₅, or R₅ and R₆ are taken together to form a ring including C₄-C₆ cycloalkyl, C₄-C₆ heterocyclyl, C₅-C₈ aryl, or C₄-C₈ heteroaryl.

11. The compound according to claim 6, wherein R₄ is substituted with at least one R₁₀, wherein R₁₀ is independently C₁-C₄ alkyl, C₂-C₄ alkenyl optionally substituted with R₁₃, C₁-C₄ alkoxy optionally substituted with R₁₃, C₄-C₆ heterocyclyl optionally substituted with R₁₃, C₄-C₁₀ heterocyclalkyl optionally substituted with R₁₃, C₇-C₈ aralkyl optionally substituted with R₁₃, C₅-C₈ heteroaralkyl optionally substituted with R₁₃, NH₂,

NHR₁₃, NR₁₃R₁₃, -SO₂R₁₃, C₁-C₅ carbomoyl optionally substituted with R₁₃, C₂-C₅ alkylcarbonyl optionally substituted with R₁₃, or C₂-C₅ alkoxy carbonyl optionally substituted with R₁₃, wherein R₁₃ is C₁-C₄ alkyl, C₁-C₄ alkoxy, C₆-C₁₀ aryl, C₅-C₈ heterocyclalkyl, -SO₂R₁₄, C₂-C₆ alkylcarbonyl optionally substituted with R₁₄, C₆-C₁₀ arylcarbonyl optionally substituted with R₁₄, carbamoyl, urealyl, or carbamyl, wherein R₁₄ is C₁-C₄ alkyl, C₁-C₄ alkoxy, aryl, arylcarbonyl, NH₂, NH[(C₁-C₄)alkyl], N[(C₁-C₄)alkyl]₂, alkylcarbonyl, alkoxy carbonyl, carbamoyl, urealyl, or carbamyl.

12. A compound of Formula III:



Formula III

wherein,

R₁ and R₂ each independently is

- 1) hydrogen;
- 2) C₁-C₈ alkyl;
- 3) C₁-C₈ alkoxy;
- 4) C₃-C₈ cycloalkyl;
- 5) C₃-C₈ heterocyclalkyl;
- 6) C₃-C₈ cycloalkylalkyl or heterocyclalkyl;
- 7) C₅-C₁₀ aryl;
- 8) C₃-C₁₀ heteroaryl;
- 9) C₆-C₁₄ aralkyl;
- 10) C₅-C₁₄ heteroaralkyl; or
- 11) -NH₂, -NHR₈, or -NR₈R₈,

wherein both R₁ and R₂ are not hydrogen, R₈ is independently hydroxyl, halo, C₁-C₄ alkyl, C₁-C₄ alkoxy, cycloalkyl, heterocyclalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -NH₂, -NHR₁₂, -NR₁₂R₁₂, alkylcarbonyl, alkoxy carbonyl, aryloxy carbonyl, carbamoyl, urealyl, carbamyl, or -SO₂R₁₂, wherein R₁₂ is halo, cyano, hydroxyl, C₁-C₄ alkyl, C₂-C₄ alkynyl, C₁-C₄ alkoxy, aryl, NH₂, NH[(C₁-C₄)alkyl], N[(C₁-C₄)alkyl]₂; or optionally, R₁ and R₂ may be taken together to form a substituted or unsubstituted C₄-C₈ cycloalkyl, C₄-C₈ heterocyclalkyl, C₅-C₈ aryl, or C₅-C₈ heteroaryl; and

R₃, R₄, and R₅ each independently is

- 1) hydrogen, halo, cyano, or nitro;
- 2) C₁-C₈ alkyl;
- 3) C₂-C₈ alkenyl;
- 4) C₂-C₈ alkynyl;
- 5) C₁-C₈ alkoxy;
- 6) C₃-C₈ cycloalkyl or heterocyclyl;
- 7) C₅-C₈ aryl;
- 8) C₃-C₁₀ heteroaryl;
- 9) C₆-C₁₄ aralkyl;
- 10) -NH₂, -NHR₉, or -NR₉R₉; or
- 11) C₂-C₁₀ carbamoyl, optionally substituted with at least one R₉;

wherein R₉ is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₁-C₄ alkoxy, C₃-C₆ cycloalkyl, C₅-C₆ heterocyclyl, C₅-C₈ aryl, C₃-C₁₀ heteroaryl, C₆-C₁₀ aralkyl, C₄-C₁₀ heteroarylalkyl, C₅-C₈ aryloxy, alkylcarbonyl, arylcarbonyl, carbamoyl, carbamyl, urealyl, or -SO₂R₁₁ wherein R₁₁ is F, Cl, Br, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₅-C₈ aryl, or C₄-C₈ heteroaryl.

13. The compound according to claim 12, wherein R₁ or R₂ is substituted with R₈, wherein R₈ is independently hydroxyl, halo, C₁-C₄ alkyl, C₁-C₄ alkoxy, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -NH₂, -NHR₁₂, -NR₁₂R₁₂, alkylcarbonyl, alkoxycarbonyl, aryloxy, carbamoyl, urealyl, carbamyl, -SO₂R₁₂, wherein R₁₂ is halo, cyano, hydroxyl, C₁-C₄ alkyl, C₂-C₄ alkynyl, C₁-C₄ alkoxy, aryl, NH₂, NH[(C₁-C₄)alkyl], N[(C₁-C₄)alkyl]₂; or optionally, R₁ and R₂ may be taken together to form a substituted or unsubstituted C₄-C₈ cycloalkyl, C₄-C₈ heterocyclyl, C₅-C₈ aryl, or C₅-C₈ heteroaryl.

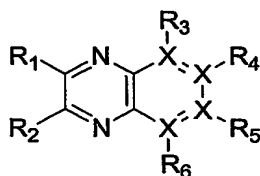
14. The compound according to claim 12, wherein R₁ and R₂ are taken together to form a substituted or unsubstituted C₄-C₈ cycloalkyl, C₄-C₈ heterocyclyl, C₅-C₈ aryl, or C₅-C₈ heteroaryl.

15. The compound according to claim 12, wherein R₃, R₄, or R₅ are substituted with at least one R₉, wherein R₉ is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₁-C₄ alkoxy, C₃-C₆ cycloalkyl, C₅-C₆ heterocyclyl, C₅-C₈ aryl, C₃-C₁₀ heteroaryl, C₆-C₁₀

aralkyl, C₄-C₁₀ heteroarylalkyl, C₅-C₈ aryloxy, alkylcarbonyl, arylcarbonyl, carbamoyl, carbamyl, urealyl, or -SO₂R₁₁ wherein R₁₁ is F, Cl, Br, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₅-C₈ aryl, or C₄-C₈ heteroaryl.

16. The compound according to claim 12, wherein either R₃ and R₄, or R₄ and R₅ are taken together to form a ring including C₄-C₆ cycloalkyl, C₄-C₆ heterocyclyl, or C₅-C₈ aryl.

17. A method for treating cancer comprising administering a therapeutically effective amount of a compound of Formula (I) to a subject in need of such treatment, wherein the compound of Formula (I) has the formula:



Formula I

wherein,

X is N or C;

R₁ and R₂ each are independently is

1) hydrogen;

2) alkyl;

3) alkoxy;

4) cycloalkyl;

5) heterocyclyl;

6) heterocyclylalkyl;

7) aryl;

8) heteroaryl;

9) aralkyl;

10) heteroaralkyl; or

11) -NH₂, -NHR₈, or -NR₈R₈;

wherein both R₁ and R₂ are not hydrogen, R₈ is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or

unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl;

R₃, R₄, R₅, or R₆ each independently is

1) hydrogen, cyano, nitro, or halo;

2) alkyl;

3) alkenyl;

4) alkynyl;

5) alkoxy;

6) cycloalkyl or heterocyclyl;

7) cycloalkylalkyl or heterocyclalkyl;

8) aryl or heteroaryl;

9) aralkyl or heteroarylalkyl;

10) -SO₂R₉, -CO₂R₉, -SR₉, or -SOR₉; or

11) -NH₂, -NHR₉, or -NR₉R₉;

wherein R₉ is independently H, alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, -NH₂, -NH(C₁-C₄)alkyl, -N[(C₁-C₄)alkyl]₂, -SO₂alkyl, -SO₂aryl, alkylcarbonyl, alkoxycarbonyl, carbamoyl, urealyl, or carbamyl or a pharmaceutically acceptable salt, hydrate or pro-drug thereof, in combination with a pharmaceutically acceptable carrier.

18. The method according to claim 17, wherein R₁ or R₂ are substituted with at least one R₈, wherein R₈ is independently hydroxyl, halo, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl.

19. The method according to claim 17, wherein R_1 and R_2 are taken together to form a substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl.

5 20. The method according to claim 17, wherein R_3 , R_4 , R_5 , or R_6 are substituted with R_9 , wherein R_9 is independently alkoxy, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted heteroaralkyl, $-NH_2$, $-NH(C_1-C_4)alkyl$, $-N[(C_1-C_4)alkyl]_2$, $-SO_2alkyl$, $-SO_2aryl$, alkylcarbonyl, alkoxy carbonyl, carbamoyl, urealyl, or carbamyl.

15 21. The method according to claim 17, wherein either R_3 and R_4 , R_4 and R_5 , or R_5 and R_6 are taken together to form a ring including cycloalkyl, heterocyclyl, aryl, or heteroaryl.

20 22. The method according to claim 17, wherein the dosage form is a tablet, caplet, troche, lozenge, dispersion, suspension, suppository, solution, capsule, or patch.

 23. The method according to claim 17, wherein the compound is administered in about 0.001 mg/kg to about 100 mg/kg.

25 24. The method according to claim 21, wherein the compound is administered by oral administration.

30 25. The method according to claim 17, further comprising administration of at least one of Taxol, Vincristine, Adriamycin, Etoposide, Doxorubicin, Dactinomycin, Mitomycin C, Bleomycin, Vinblastine, or Cisplatin.